CLAIM AMENDMENTS

- (Currently Amended) A composition comprising:
- (a) at least one sterol absorption inhibitor or pharmaceutically acceptable salt or solvate thereof or prodrug of the at least one sterol absorption inhibitor or of the salt or solvate thereof

wherein the at least one sterol absorption inhibitor is represented by Formula (I):

$$Ar^{1}-X_{m}-(C)_{q}-Y_{n}-(C)_{r}-Z_{p}$$
 Ar^{3}
 Ar^{2}
 Ar^{2}
 Ar^{2}
 Ar^{2}
 Ar^{2}
 Ar^{2}

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (I) or of the isomers thereof, or prodrugs of the compounds of Formula (I) or of the isomers, salts or solvates thereof, wherein:

Ar¹ and Ar² are independently selected from the group consisting of aryl and R⁴-substituted aryl;

Ar is aryl or R substituted aryl;

X, Y and Z are independently selected from the group consisting of -CH2-, -CH(lower alkyl)- and -C(dilower alkyl)-;

R and R^2 are independently selected from the group consisting of $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$ and $-O(CO)NR^6R^7$;

R¹ and R³ are independently selected from the group consisting of hydrogen, lower alkyl and aryl;

q is 0 or 1;

r is 0 or 1;

m, n and p are independently selected from 0, 1, 2, 3 or 4; provided that at least one of q and r is 1, and the sum of m, n, p, q and r is 1, 2, 3, 4, 5 or 6; and provided that when p is 0 and r is 1, the sum of m, q and n is 1, 2, 3, 4 or 5;

 R^4 is 1-5 substituents independently selected from the group consisting of lower alkyl, $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$.

-NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶, -CH₂CONR⁶R⁷, -(lower alkylene)COOR⁶, -CH₂CH₂COOR⁶, -CF₃, -CN, -NO₂ and halogen;

 $\frac{R^5 \text{ is } 1\text{--}5 \text{ substituents independently selected from the group consisting of } {-OR^6, -O(CO)R^6, -O(CO)OR^9, -O(CH_2)_{1\text{--}6}OR^6, -O(CO)NR^6R^7, -NR^6R^7, } \\ -\frac{NR^6(CO)R^7, -NR^6(CO)OR^9, -NR^6(CO)NR^7R^8, -NR^6SO_2R^9, -COOR^6, -CONR^6R^7, } {-COR^6, -SO_2NR^5R^7, S(O)_{0\text{--}2}R^9, -O(CH_2)_{1\text{--}10}\text{--}COOR^6, -O(CH_2)_{1\text{--}10}\text{--}CONR^6R^7, } \\ -\frac{(lower alkylene)COOR^6 \text{ and } -CH=CH-COOR^6;}{} \\ \frac{R^5 \text{ is } 1\text{--}5 \text{ substituents independently selected from the group consisting of the group co$

R⁶, R⁷ and R⁸ are independently selected from the group consisting of hydrogen, lower alkyl, anyl and aryl-substituted lower alkyl; and

R⁹ is lower alkyl, aryl or aryl-substituted lower alkyl; and

- (b) at least one blood modifier for vascular conditions which is different from component (a) above.
- 2. (canceled)
- 3. (Currently Amended) The composition according to claim 12, wherein the sterol absorption inhibitor is represented by Formula (II) below:

or pharmaceutically acceptable salts or solvates thereof, or prodrugs of the compound of Formula (II) or of the salts or solvates thereof.

4. (Withdrawn) The composition according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (III):

$$Ar^{1}-A-Y_{\overline{q}} \stackrel{R^{1}}{\overset{1}{C}} -Z_{p} \qquad Ar^{3}$$

$$N \qquad Ar^{2}$$
(III)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (III) or of the isomers thereof, or prodrugs of the compounds of Formula (III) or of the isomers, salts or solvates thereof, wherein, in Formula (III) above:

Ar¹ is R³-substituted aryl;

Ar² is R⁴-substituted aryl;

Ar³ is R⁵-substituted aryl;

Y and Z are independently selected from the group consisting of -CH₂-, -CH(lower alkyl)- and -C(dilower alkyl)-;

A is selected from -O-, -S-, -S(O)- or -S(O) $_2$ -;

 R^1 is selected from the group consisting of $-OR^6$, $-O(CO)R^5$, $-O(CO)OR^9$ and $-O(CO)NR^6R^7$; R^2 is selected from the group consisting of hydrogen, lower alkyl and aryl; or R^1 and R^2 together are =O;

q is 1, 2 or 3;

p is 0, 1, 2, 3 or 4;

 R^5 is 1-3 substituents independently selected from the group consisting of $-OR^6$, $-O(CO)R^8$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^9$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2$ -lower alkyl, $-NR^6SO_2$ -aryl, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0-2}$ -alkyl, $S(O)_{0-2}$ -aryl, $-O(CH_2)_{1-10}$ -COOR 6 , $-O(CH_2)_{1-10}$ -COOR 6 , o-halogeno, m-halogeno, o-lower alkyl, m-lower alkyl, -(lower alkylene)-COOR 6 , and

-CH=CH-COOR⁶;

 R^3 and R^4 are independently 1-3 substituents independently selected from the group consisting of R^5 , hydrogen, p-lower alkyl, aryl, -NO₂, -CF₃ and p-halogeno;

 R^6 , R^7 and R^8 are independently selected from the group consisting of hydrogen, lower alkyl, anyl and aryl-substituted lower alkyl; and

R⁹ is lower alkyl, aryl or aryl-substituted lower alkyl.

5. (Withdrawn) The composition according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (IV):

(IV)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (IV) or of the isomers thereof, or prodrugs of the compounds of Formula (IV) or of the isomers, salts or solvates thereof, wherein, in Formula (IV) above:

A is selected from the group consisting of R^2 -substituted heterocycloalkyl, R^2 -substituted heteroaryl, R^2 -substituted benzofused heterocycloalkyl, and R^2 -substituted benzofused heteroaryl;

Ar is aryl or R3-substituted aryl;

Ar² is aryl or R⁴-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone, forms the

R¹ is selected from the group consisting of:

-(CH₂) $_{\rm q}$ -, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

 $-(CH_2)_e$ -G- $(CH_2)_r$ -, wherein G is -O-, -C(O)-, phenylene, -NR⁸- or -S(O)₀₋₂-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C2-C8 alkenylene)-; and

 $-(CH_2)_fV-(CH_2)_g$ -, wherein V is C_3-C_6 cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R⁵ is selected from:

 R^6 and R^7 are independently selected from the group consisting of $-CH_2$ -, $-CH(C_1-C_6$ alkyl)-, $-C(di-(C_1-C_6)$ alkyl), -CH=CH- and $-C(C_1-C_6$ alkyl)=-CH-; or R^5 together with an adjacent R^6 , or R^5 together with an adjacent R^7 , form a -CH=CH- or a $-CH=C(C_1-C_6)$ alkyl)- group;

a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when R^6 is -CH=CH- or -C(C_1 - C_6 alkyl)=CH-, a is 1; provided that when R^7 is -CH=CH- or -C(C_1 - C_6 alkyl)=CH-, b is 1; provided that when a is 2 or 3, the R^6 's can be the same or different; and provided that when b is 2 or 3, the R^7 's can be the same or different;

and when Q is a bond, R also can be selected from:

where M is -O-, -S-, -S(O)- or -S(O)2-;

X, Y and Z are independently selected from the group consisting of $-CH_{2}$ -, $-CH(C_1-C_6)$ alkyl)- and $-C(di-(C_1-C_6))$;

R¹⁰ and R¹² are independently selected from the group consisting of -OR¹⁴, -O(CO)R¹⁴, -O(CO)OR¹⁶ and -O(CO)NR¹⁴R¹⁵;

 R^{11} and R^{13} are independently selected from the group consisting of hydrogen, (C_1-C_6) alkyl and aryl; or R^{10} and R^{11} together are =0, or R^{12} and R^{13} together are =0;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4; provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

 R^2 is 1-3 substituents on the ring carbon atoms selected from the group consisting of hydrogen, $(C_1\text{-}C_{10})$ alkyl, $(C_2\text{-}C_{10})$ alkenyl, $(C_2\text{-}C_{10})$ alkynyl, $(C_3\text{-}C_6)$ cycloalkyl, $(C_3\text{-}C_6)$ cycloalkenyl, R^{17} -substituted aryl, R^{17} -substituted benzyl, R^{17} -substituted benzyloxy, R^{17} -substituted aryloxy, halogeno, $-NR^{14}R^{15}$, $NR^{14}R^{15}(C_1\text{-}C_6$ alkylene)-, $NR^{14}R^{15}C(O)(C_1\text{-}C_6$ alkylene)-, $-NHC(O)R^{16}$, $OH, C_1\text{-}C_6$ alkoxy, $-OC(O)R^{16}$, $-COR^{14}$, hydroxy($C_1\text{-}C_6$)alkyl, $(C_1\text{-}C_6)$ alkoxy($C_1\text{-}C_6$)alkyl, NO_2 , $-S(O)_{0\text{-}2}R^{16}$, $-SO_2NR^{14}R^{15}$ and $-(C_1\text{-}C_6$ alkylene)COOR 14 ; when R^2 is a

substituent on a heterocycloalkyl ring, R^2 is as defined, or is =0 or ; and, where R^2 is a substituent on a substitutable ring nitrogen, it is hydrogen, (C_1-C_6) alkyl, aryl, (C_1-C_6) alkoxy, aryloxy, (C_1-C_6) alkylcarbonyl, arylcarbonyl, hydroxy, $-(CH_2)_{1-6}$ CONR¹⁸R¹⁸,

wherein J is -O-, -NH-, -NR 18 - or -CH $_2$ -;

 R^3 and R^4 are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C_1-C_6) alkyl, $-OR^{14}$, $-O(CO)R^{14}$, $-O(CO)OR^{16}$, $-O(CH_2)_{1-5}OR^{14}$, $-O(CO)NR^{14}R^{15}$, $-NR^{14}R^{15}$, $-NR^{14}(CO)R^{15}$, $-NR^{14}(CO)OR^{16}$, $-NR^{14}(CO)NR^{15}R^{19}$, $-NR^{14}SO_2R^{18}$, $-COOR^{14}$, $-COOR^{14}R^{15}$, $-COR^{14}R^{15}$, $-COR^{14}R$

 $-O(CH_2)_{1-10}CONR^{14}R^{15}$, $-(C_1-C_8 \text{ alkylene})-COOR^{14}$, $-CH=CH-COOR^{14}$, $-CF_3$, -CN, $-NO_2$ and halogen;

 R^8 is hydrogen, (C_1-C_8) alkyl, aryl (C_1-C_8) alkyl, $-C(O)R^{14}$ or $-COOR^{14}$;

 R^9 and R^{17} are independently 1-3 groups independently selected from the group consisting of hydrogen, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, -COOH, NO_2 , -NR 14 R 15 . OH and halogeno;

 R^{14} and R^{15} are independently selected from the group consisting of hydrogen, (C_1-C_6) alkyl, aryl and aryl-substituted (C_1-C_6) alkyl;

R¹⁶ is (C₁-C₆)alkyl, aryl or R¹⁷-substituted aryl;

R¹⁸ is hydrogen or (C₁-C₆)alkyl; and

R¹⁹ is hydrogen, hydroxy or (C₁-C₆)alkoxy.

6. (Withdrawn) The composition according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (V):

$$Ar^{1} \times_{m} (C)_{q} \times_{N} S(O)_{r} Ar^{2}$$

$$Ar^{3}$$

(V)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (V) or of the isomers thereof, or prodrugs of the compounds of Formula (V) or of the isomers, salts or solvates thereof, wherein, in Formula (V) above:

Ar is aryl. R -substituted aryl or heteroaryl;

Ar² is aryl or R⁴-substituted aryl;

Ar³ is anyl or R⁵-substituted anyl;

X and Y are independently selected from the group consisting of - CH_2 -, -CH(lower alkyl)- and -C(dilower alkyl)-;

R is $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$ or $-O(CO)NR^6R^7$; R¹ is hydrogen, lower alkyl or aryl; or R and R¹ together are =O;

q is 0 or 1;

r is 0, 1 or 2;

m and n are independently 0, 1, 2, 3, 4 or 5; provided that the sum of m, n and q is 1, 2, 3, 4 or 5;

 R^4 is 1-5 substituents independently selected from the group consisting of lower alkyl, $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}$ -COOR 6 , $-O(CH_2)_{1-10}$ -COOR 6 ;

 R^5 is 1-5 substituents independently selected from the group consisting of $-OR^6$, $-O(CO)R^8$, $-O(CO)OR^9$, $-O(CH_2)_{1.5}OR^6$, $-O(CO)NR^5R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0.2}R^9$, $-O(CH_2)_{1.10}$ - $-COOR^6$, $-O(CH_2)_{1.10}$ - $-CONR^6R^7$, $-CF_3$, -CN, $-NO_2$, halogen, $-(Iower alkylene)COOR^6$ and $-CH=CH-COOR^6$;

R⁶, R⁷ and R⁸ are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl;

R⁹ is lower alkyl, aryl or aryl-substituted lower alkyl; and

 R^{10} is 1-5 substituents independently selected from the group consisting of lower alkyl, $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)R^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $-S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}$ -COOR⁶, $-O(CH_2)_{1-10}CONR^6R^7$, $-CF_3$, -CN, $-NO_2$ and halogen.

7. (Withdrawn) The composition according to claim 1, where the at least one sterol absorption inhibitor is represented by Formula (VI):

$$R_4$$
 R_1
 R_2
 R_2
 R_3
 R_{20}

(VI)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (VI) or of the isomers thereof, or prodrugs of the compounds of Formula (VI) or of the isomers, salts or solvates thereof, wherein in Formula (VI) above:

R₁ is

-CH-, -C(lower alkyl)-, -CF-, -C(OH)-, -C(C₆H₅)-, -C(C₆H₄-R₁₅)-, -
$$\frac{1}{N}$$
 or $\frac{1}{N}$ O;

R₂ and R₃ are independently selected from the group consisting of:
-CH₂-, -CH(lower alkyl)-, -C(di-lower alkyl)-, -CH=CH- and -C(lower alkyl)=CH-; or
R₁ together with an adjacent R₂, or R₁ together with an adjacent R₃, form a
-CH=CH- or a -CH=C(lower alkyl)- group;

u and v are independently 0, 1, 2 or 3, provided both are not zero; provided that when R₂ is -CH=CH- or -C(lower alkyl)=CH-, v is 1; provided that when R₃ is -CH=CH- or -C(lower alkyl)=CH-, u is 1; provided that when v is 2 or 3, the R₂'s can be the same or different; and provided that when u is 2 or 3, the R₃'s can be the same or different;

R4 is selected from B-(CH₂)_mC(O)-, wherein m is 0, 1, 2, 3, 4 or 5; B-(CH₂)_q-, wherein q is 0, 1, 2, 3, 4, 5 or 6; B-(CH₂)_e-Z-(CH₂)_r-, wherein Z is -O-, -C(O)-, phenylene, -N(R₈)- or -S(O)₀-2-, e is 0, 1, 2, 3, 4 or 5 and r is 0, 1, 2, 3, 4 or 5, provided that the sum of e and r is 0, 1, 2, 3, 4, 5 or 6; B-(C₂-C₆ alkenylene)-; B-(C₄-C₆ alkadienylene)-;

B-(CH₂)t-Z-(C₂-C₆ alkenylene)-, wherein Z is as defined above, and wherein t is 0, 1, 2 or 3, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

B-(CH₂)t-V-(CH₂)g-, wherein V is C₃-C₆ cycloalkylene, f is 1, 2, 3, 4 or 5 and g is 0, 1, 2, 3, 4 or 5, provided that the sum of f and g is 1, 2, 3, 4, 5 or 6;

B-(CH₂)t-V-(C₂-C₆ alkenylene)- or

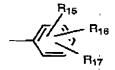
B-(C₂-C₆ alkenylene)-V-(CH₂)t-, wherein V and t are as defined above, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

B-(CH₂)a-Z-(CH₂)b-V-(CH₂)d-, wherein Z and V are as defined above and a, b and

d are independently 0, 1, 2, 3, 4, 5 or 6, provided that the sum of a, b and d is 0, 1, 2, 3, 4, 5 or 6; or T-(CH₂)₈-, wherein T is cycloalkyl of 3-6 carbon atoms and s is 0, 1, 2, 3, 4, 5 or 6; or

R1 and R4 together form the group B-CH=C-;

B is selected from indanyl, indenyl, naphthyl, tetrahydronaphthyl, heteroaryl or W-substituted heteroaryl, wherein heteroaryl is selected from the group consisting of pyrrolyl, pyridinyl, pyrimidinyl, pyrazinyl, triazinyl, imidazolyl, thiazolyl, pyrazolyl, thienyl, oxazolyl and furanyl, and for nitrogen-containing heteroaryls, the N-oxides thereof, or



W is 1 to 3 substituents independently selected from the group consisting of lower alkyl, hydroxy lower alkyl, lower alkoxy, alkoxyalkyl, alkoxyalkoxy, alkoxycarbonylalkoxy, (lower alkoxyimino)-lower alkyl, lower alkanedioyl, lower alkyl lower alkanedioyl, allyloxy, -CF3, -OCF3, benzyl, R7-benzyl, benzyloxy, R7-benzyloxy, phenoxy, R7-phenoxy, dioxolanyl, NO2,-N(R8)(R9), N(R8)(R9)-lower alkylene-, N(R8)(R9)-lower alkylenyloxy-, OH, halogeno, -CN, -N3, -NHC(O)OR10, -NHC(O)R10, R11O2SNH-, (R11O2S)2N-, -S(O)2NH2, -S(O)0-2R8, tert-butyldimethyl-silyloxymethyl, -C(O)R12, -COOR19, -CON(R8)(R9), -CH=CHC(O)R12, -lower alkylene-C(O)R12, R10C(O)(lower alkylenyloxy)-,

N(R8)(R9)C(O)(lower alkylenyloxy)- and

S(O)2NH2 and 2-(trimethylsilyl)-ethoxymethyl;

carbon atoms, and the substituents on the substituted heteroaryl ring nitrogen atoms, when present, are selected from the group consisting of lower alkyl, lower alkoxy, -C(O)OR10,

-C(O)R₁₀, OH, N(R₈)(R₉)-lower alkylene-,N(R₈)(R₉)-lower alkylenyloxy-, -

R7 is 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, -COOH, NO2, -N(R8)(R9), OH, and halogeno;

R8 and R9 are independently selected from H or lower alkyl;

R₁₀ is selected from lower alkyl, phenyl, R₇-phenyl, benzyl or R₇-benzyl;

R₁₁ is selected from OH, lower alkyl, phenyl, benzyl, R₇-phenyl or R₇-benzyl;

R₁₂ is selected from H, OH, alkoxy, phenoxy, benzyloxy,

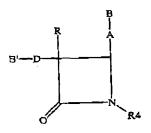
R13 is selected from -O-, -CH2-, -NH-, -N(lower alkyl)- or -NC(O)R19;

R15, R16 and R17 are independently selected from the group consisting of H and the groups defined for W; or R₁₅ is hydrogen and R₁₆ and R₁₇, together with adjacent carbon atoms to which they are attached, form a dioxolanyl ring;

R19 is H, lower alkyl, phenyl or phenyl lower alkyl; and

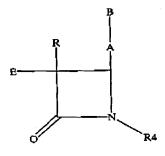
R20 and R21 are independently selected from the group consisting of phenyl, W-substituted phenyl, naphthyl, W-substituted naphthyl, indanyl, indenyl, tetrahydronaphthyl, benzodioxolyl, heteroaryl, W-substituted heteroaryl, benzofused heteroaryl, W-substituted benzofused heteroaryl and cyclopropyl, wherein heteroaryl is as defined above.

(Withdrawn) The composition according to claim 1, wherein the at least 8. one sterol absorption inhibitor is represented by Formula (VIIA) or (VIIB):



(VIIA)

ОГ



(VIIB)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formulae (VIIA) or (VIIB) or of the isomers thereof, or prodrugs of the compounds of Formulae (VIIA) or (VIIB) or of the isomers, salts or solvates thereof, wherein in Formulae (VIIA) and (VIIB) above:

A is -CH=CH-, -C=C- or -(CH₂) $_{p}$ - wherein p is 0, 1 or 2; B is

B' is

D is -(CH₂)_mC(O)- or -(CH₂)_q- wherein m is 1, 2, 3 or 4 and q is 2, 3 or 4; E is C₁₀ to C₂₀ alkyl or -C(O)-(Cg to C₁₉)-alkyl, wherein the alkyl is straight or branched, saturated or containing one or more double bonds;

R is hydrogen, C1-C15 alkyl, straight or branched, saturated or containing one or more double bonds, or B-(CH2)r -, wherein r is 0, 1, 2, or 3;

R1, R2, R3, R1', R2', and R3' are independently selected from the group consisting of hydrogen, lower alkyl, lower alkoxy, carboxy, NO2, NH2, OH, halogeno, lower alkylamino, dilower alkylamino, -NHC(O)OR5, R6O2SNH- and -S(O)2NH2;

R₄ is

wherein n is 0, 1, 2 or 3;

R5 is lower alkyl; and

R6 is OH, lower alkyl, phenyl, benzyl or substituted phenyl wherein the substituents are 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, carboxy, NO2, NH2, OH, halogeno, lower alkylamino and dilower alkylamino.

(Withdrawn) The composition according to claim 1, wherein the at least 9. one sterol absorption inhibitor is represented by Formula (VIII):

(VIII)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (VIII) or of the isomers thereof, or prodrugs of the compounds of Formula (VIII) or of the isomers, salts or solvates thereof, wherein, in Formula (VIII) above,

 R^{26} is H or OG^1 :

G and G1 are independently selected from the group consisting of

H,
$$OR^5$$
 OR^4 OR^5 OR^4 OR^7 OR^7 OR^7 OR^7 OR^3 OR^4 OR^4

OH, G is not H;

R, Ra and Rb are independently selected from the group consisting of H, -OH, halogeno, -NH₂, azido, (C₁-C₆)alkoxy(C₁-C₆)-alkoxy or -W-R³⁰;

W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R 31)-, -NH-C(O)-N(R 31)-;

R² and R⁶ are independently selected from the group consisting of H, (C1-C6)alkyl, aryl and aryl(C1-C6)alkyl;

R3, R4, R5, R7, R3a and R4a are independently selected from the group consisting of H, (C1-C6)alkyl, aryl(C1-C6)alkyl, -C(O)(C1-C6)alkyl and -C(O)aryl;

R³⁰ is selected from the group consisting of R³²-substituted T, R³²-substituted-T-(C₁-C₆)alkyl, R³²-substituted-(C₂-C₄)alkenyl, R³²-substituted-(C₃-C₇)cycloalkyl and R³²-substituted-(C₃-C₇)cycloalkyl(C₁-C₆)alkyl;

R31 is selected from the group consisting of H and (C1-C4)alkyl;

T is selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, iosthiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

R³² is independently selected from 1-3 substituents independently selected from the group consisting of halogeno, (C₁-C₄)alkyl, -OH, phenoxy, -CF₃, -NO₂, (C₁-C₄)alkoxy, methylenedioxy, oxo, (C₁-C₄)alkylsulfanyl,

(C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C₁-C₄)alkyl, -C(O)-N((C₁-C₄)alkyl)₂, -C(O)-(C₁-C₄)alkyl, -C(O)-(C₁-C₄)alkoxy and pyrrolidinylcarbonyl; or R³² is a covalent bond and R³¹, the nitrogen to which it is attached and R³² form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C₁-C₄)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

Ar1 is anyl or R10-substituted aryl;

Ar² is arvl or R¹¹-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone,

 $\begin{array}{c} \begin{array}{c} \begin{array}{c} & \\ \end{array} R^{12} & (R^{13})_a \end{array}$ forms the spiro group $(R^{14})_b^{\bullet} & \end{array}$; and

R1 is selected from the group consisting of

 $-(CH_2)_{q^-}$, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

-(CH₂)_e-E-(CH₂)_r-, wherein E is -O-, -C(O)-, phenylene, -NR²²- or -S(O)₀₋₂-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C2-C6)alkenylene-; and

-(CH₂) $_f$ -V-(CH₂) $_g$ -, wherein V is C₃-C₆ cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R12 is

 $m R^{13}$ and $m R^{14}$ are independently selected from the group consisting of -CH2-, -CH(C1-C6 alkyl)-, -C(di-(C1-C6) alkyl), -CH=CH- and

-C(C₁-C₆ alkyl)=CH-; or R¹² together with an adjacent R¹³, or R¹² together with an adjacent R¹⁴, form a -CH=CH- or a -CH=C(C₁-C₆ alkyl)- group;

a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when R¹³ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, a is 1; provided that when R¹⁴ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, b is 1;

provided that when a is 2 or 3, the R^{13} 's can be the same or different; and provided that when b is 2 or 3, the R^{14} 's can be the same or different; and when Q is a bond, R^1 also can be:

M is -O-, -S-, -S(O)- or -S(O)2-;

X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆)alkyl- and -C(di-(C₁-C₆)alkyl);

R10 and R11 are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of

(C₁-C₆)alkyl, -OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹, -O(CH₂)₁₋₅OR¹⁹,

 $-O(CO)NR^{19}R^{20}$, $-NR^{19}R^{20}$, $-NR^{19}(CO)R^{20}$, $-NR^{19}(CO)OR^{21}$,

-NR19(CO)NR20R25, -NR19SO2R21, -COOR19, -CONR19R20, -COR19,

-SO₂NR¹⁹R²⁰, S(O)₀-2R²¹, -O(CH₂)₁₋₁₀-COOR¹⁹, -O(CH₂)₁₋₁₀CONR¹⁹R²⁰,

-(C1-C6 alkylene)-COOR19, -CH=CH-COOR19, -CF3, -CN, -NO2 and halogen;

 $\rm R^{15}$ and $\rm R^{17}$ are independently selected from the group consisting of -OR^{19}, -O(CO)R^{19}, -O(CO)OR^{21} and -O(CO)NR^{19}R^{20};

 R^{16} and R^{18} are independently selected from the group consisting of H, (C₁-C₆)alkyl and aryl; or R^{15} and R^{16} together are =O, or R^{17} and R^{18} together are =O;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4;

provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;

provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and

provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

and when Q is a bond and R¹ is R¹⁶, Ar¹ can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyrldazinyl;

R19 and R20 are independently selected from the group consisting of H, (C1-C6)alkyl, aryl and aryl-substituted (C1-C6)alkyl;

R21 is (C1-C6)alkyl, aryl or R24-substituted aryl;

 R^{22} is H, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁹ or -COOR¹⁹;

R23 and R24 are independently 1-3 groups independently selected from the group consisting of H, (C1-C6)alkyl, (C1-C6)alkoxy, -COOH, NO2,

-NR19R20, -OH and halogeno; and

R25 is H, -OH or (C1-C6)alkoxy.

10. (Withdrawn) The composition according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (IX):

$$Ar^1$$
— CH — Q — R_{26}
 O
 Ar^2
(IX)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (IX) or of the isomers thereof, or prodrugs of the compounds of Formula (IX) or of the isomers, salts or solvates thereof, wherein, in Formula (IX) above.

R²⁶ is selected from the group consisting of:

- a) OH;
- b) OCH₃;
- c) fluorine and
- d) chlorine;

R¹ is selected from the group consisting of

H,
$$OR^5$$
 OR^4 OR^5 OR^4 OR^7 OR^7 OR^7 OR^3 OR^4 OR^5 OR^4 OR^5 OR^4 OR^5 OR^4 OR^5 OR^4 OR^5 OR^4 OR^3 OR^4 OR^4 OR^3 OR^4 OR^3 OR^4 OR^4

R, Ra and Rb are independently selected from the group consisting of H, -OH, halogeno, -NH₂, azido, (C₁-C₆)alkoxy(C₁-C₆)-alkoxy and -W-R³⁰;

W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R 31)-, -NH-C(O)-N(R 31)- and -O-C(S)-N(R 31)-;

R² and R⁶ are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl(C₁-C₆)alkyl;

R3, R4, R5, R7, R3a and R4a are independently selected from the group consisting of H, (C1-C6)alkyl, aryl(C1-C6)alkyl, -C(O)(C1-C6)alkyl and -C(O)aryl;

R³⁰ is independently selected form the group consisting of R³²-substituted T, R³²-substituted-T-(C₁-C₆)alkyl, R³²-substituted-(C₂-C₄)alkenyl, R³²-substituted-(C₁-C₆)alkyl, R³²-substituted-(C₃-C₇)cycloalkyl and R³²-substituted-(C₃-C₇)cycloalkyl(C₁-C₆)alkyl;

R³¹ is independently selected from the group consisting of H and (C₁-C₄)alkyl;

T is independently selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, iosthiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

R³² is independently selected from 1-3 substituents independently selected from the group consisting of H, halogeno, (C₁-C₄)alkyl, -OH, phenoxy, -CF₃, -NO₂, (C₁-C₄)alkoxy, methylenedioxy, oxo, (C₁-C₄)alkylsulfanyl, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C₁-C₄)alkyl, -C(O)-N((C₁-C₄)alkyl)₂,

-C(O)-(C1-C4)alkyl, -C(O)-(C1-C4)alkoxy and pyrrolidinylcarbonyl; or R³² is a covalent bond and R³¹, the nitrogen to which it is attached and R³² form a pyrrolidinyl, plperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C1-C4)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

Ar¹ is aryl, R¹⁰-substituted aryl; pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

Ar² is aryl or R¹¹-substituted aryl;

Q is - $(CH_2)_{q}$ -, wherein q is 2-6, or, with the 3-position ring carbon of the azetidinone,

-CH-, -C(C₁-C₆ alkyl)-, -CF-, -C(OH)-, -C(C₆H₄-R²³)-, -N-, or —
$$^{+}$$
NO-;

R¹³ and R¹⁴ are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆ alkyl)-, -C(di-(C₁-C₆) alkyl), -CH=CH- and -C(C₁-C₆ alkyl)=CH-; or R¹² together with an adjacent R¹³, or R¹² together with an adjacent R¹⁴, form a -CH=CH- or a -CH=C(C₁-C₆ alkyl)- group;

a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when R^{13} is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, a is 1; provided that when R^{14} is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, b is 1; provided that when a is 2 or 3, the R^{13} 's can be the same or different; and provided that when b is 2 or 3, the R^{14} 's can be the same or different;

 $_{\rm R}^{10}$ and $_{\rm R}^{11}$ are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C1-C6)alkyl, -OR19, -O(CO)R19, -O(CO)OR21, -O(CH2)1-5OR19, -O(CO)NR19R20, -NR19R20, -NR19(CO)R20, -NR19(CO)OR21, -NR19(CO)NR20R25, -NR19SO2R21, -

COOR19,

- -CONR¹⁹R²⁰, -COR¹⁹, -SO₂NR¹⁹R²⁰, -S(O)₀₋₂R²¹, -O(CH₂)₁₋₁₀-COOR¹⁹,
- -O(CH₂)₁₋₁₀CONR¹⁹R²⁰, -(C₁-C₆ alkylene)-COOR¹⁹, -CH=CH-COOR¹⁹, -CF₃,
- -CN, -NO2 and halogen;

R19 and R20 are independently selected from the group consisting of H, (C1-C6)alkyl, aryl and aryl-substituted (C1-C6)alkyl;

R21 is (C1-C6)alkyl, aryl or R24-substituted aryl;

R22 is H, (C1-C6)alkyl, aryl (C1-C6)alkyl, -C(O)R19 or -COOR19,

 R^{23} and R^{24} are independently 1-3 groups independently selected from the group consisting of H, (C1-C6)alkyl, (C1-C6)alkoxy, -COOH, NO₂, -NR¹⁹R²⁰, -OH and halogeno; and

R²⁵ is H. -OH or (C₁-C₆)alkoxy.

- 11. (Original) The composition according to claim 1, wherein the at least one blood modifier is selected from the group consisting of anti-coagulants, antithrombotic agents, fibrinogen receptor antagonists, platelet inhibitors, platelet aggregation inhibitors, hemorrheologic agents, lipoprotein associated coagulation inhibitor, Factor VIIa inhibitors, Factor Xa inhibitors and combinations thereof.
- 12. (Withdrawn) The composition according to claim 11, wherein the at least one blood modifier is an anti-coagulant.
- 13. (Withdrawn) The composition according to claim 12, wherein the anticoagulant is selected from the group consisting of argatroban, bivalirudin, dalteparin sodium, desirudin, dicumarol, lyapolate sodium, nafamostat mesylate, phenprocoumon, tinzaparin sodium, warfarin sodium and combinations thereof.
- 14. (Withdrawn) The composition according to claim 11, wherein the at least one blood modifier is an anti-thrombotic agent.

- 15. (Withdrawn) The composition according to claim 14, wherein the antithrombotic agent is selected from the group consisting of anagrelide hydrochloride, bivalirudin, cilostazol, dalteparin sodium, danaparoid sodium, dazoxiben hydrochloride, efegatran sulfate, enoxaparin sodium, fluretofen, ifetroban, ifetroban sodium, lamifiban, lotrafiban hydrochloride, napsagatran, orbofiban acetate, roxifiban acetate, sibrafiban, tinzaparin sodium, trifenagrel, abciximab, zolimomab aritox and combinations thereof.
- (Withdrawn) The composition according to claim 11, wherein the at least one blood modifier is a fibrinogen receptor antagonist.
- 17. (Withdrawn) The composition according to claim 16, wherein the fibrinogen receptor antagonist is selected from the group consisting of roxifiban acetate, fradafiban, orbofiban, lotrafiban hydrochloride, tirofiban, xemilofiban, monoclonal antibody 7E3, sibrafiban and combinations thereof.
- 18. (Original) The composition according to claim 11, wherein the at least one blood modifier is a platelet inhibitor.
- 19. (Original) The composition according to claim 18, wherein the platelet inhibitor is selected from the group consisting of cilostazol, clopidogrel bisulfate, epoprostenol, epoprostenol sodium, ticlopidine hydrochloride, aspirin, ibuprofen, naproxen, sulindae, idomethacin, mefenamate, droxicam, diclofenac, sulfinpyrazone, piroxicam, dipyridamole and combinations thereof.
- 20. (Original) The composition according to claim 19, wherein the platelet inhibitor is aspirin.
- 21. (Withdrawn) The composition according to claim 11, wherein the at least one blood modifier is a platelet aggregation inhibitor.
- 22. (Withdrawn) The composition according to claim 21, wherein the platelet aggregation inhibitor is selected from the group consisting of acadesine, beraprost, beraprost sodium, ciprostene calcium, itazigrel, lifarizine, lotrafiban

hydrochloride, orbofiban acetate, oxagrelate, fradafiban, orbofiban, tirofiban, xemilofiban and combinations thereof.

- 23. (Withdrawn) The composition according to claim 11, wherein the at least one blood modifier is a hemorrheologic agent.
- 24. (Withdrawn) The composition according to claim 23, wherein the hemorrheologic agent is pentoxifylline.
- 25. (Withdrawn) The composition according to claim 11, wherein the at least one blood modifier is a lipoprotein associated coagulation inhibitor.
- 26. (Withdrawn) The composition according to claim 11, wherein the at least one blood modifier is a Factor Xa inhibitor.
- 27. (Withdrawn) The composition according to claim 26, wherein the Factor Xa inhibitor is selected from the group consisting of disubstituted pyrazolines, disubstituted triazolines, substituted n-[(aminoiminomethyl)phenyl] propylamides, substituted n-[(aminomethyl)phenyl] propylamides, tissue factor pathway inhibitor (TFPI), low molecular weight heparins, heparinoids, benzimidazolines, benzoxazolinones, benzopiperazinones, indanones, dibasic (amidinoaryl) propanoic acid derivatives, amidinophenyl-pyrrolidines, amidinophenyl-pyrrolines, amidinophenyl-isoxazolidines, amidinoindoles, amidinoazoles, bisarlysulfonylaminobenzamide derivatives, peptidic Factor Xa inhibitors and combinations thereof.
- 28. (Withdrawn) The composition according to claim 1, wherein the at least one blood modifier is a low molecular weight heparin.
- 29. (Withdrawn) The composition according to claim 28, wherein the low molecular weight heparin is selected from the group of enoxaparin, nardroparin, dalteparin, certroparin, parnaparin, reviparin, tinzaparin and combinations thereof.

- 30. (Withdrawn) The composition according to claim 1, wherein the at least one blood modifier is a heparinoid.
- 31. (Withdrawn) The composition according to claim 30, wherein the heparinoid is danaparoid.
- 32. (Withdrawn) The composition according to claim 11, wherein the at least one blood modifier is a Factor VIIa inhibitor.
- 33. (Withdrawn) The composition according to claim 32, wherein the Factor VIIa Inhibitor is selected from the group consisting of 4H-31-benzoxazin-4-ones, 4H-3,1-benzoxazin-4-thiones, quinazolin-4-ones, quinazolin-4-thiones, benzothiazin-4-ones, imidazolyl-boronic acid-derived peptide analogues TFPI-derived peptides and combinations thereof.
- 34. (Withdrawn) The composition according to claim 32, wherein the Factor VIIa Inhibitor is selected from the group consisting of naphthalene-2-sulfonic acid {1-[3-(aminoiminomethyl)-benzyl]-2-oxo-pyrrolidin-3-(S)-yl} amide trifluoroacetate, dibenzofuran-2-sulfonic acid {1-[3-(aminomethyl)-benzyl]-5-oxo-pyrrolidin-3-yl}-amide, tolulene-4-sulfonic acid {1-[3-(aminoiminomethyl)-benzyl]-2-oxo-pyrrolidin-3-(S)-yl}-amide trifluoroacetate, 3,4-dihydro-1H-isoquinoline-2-sulfonic acid {1-[3-(aminoiminomethyl)-benzyl]-2-oxo-pyrrolin-3-(S)-yl}-amide trifluoroacetate and combinations thereof.
- 35. (Original) The composition according to claim 1, further comprising at least one cholesterol biosynthesis inhibitor.
- 36. (Original) The composition according to claim 35, wherein the at least one cholesterol biosynthesis inhibitor comprises at least one HMG CoA reductase inhibitor.
- 37. (Original) The composition according to claim 36, wherein the at least one HMG CoA reductase inhibitor is simvastatin.

- 38. (Withdrawn) The composition according to claim 1, further comprising at least one bile acid sequestrant.
- 39. (Withdrawn) The composition according to claim 1, further comprising at least one low-density lipoprotein receptor activator.
- 40. (Withdrawn) The composition according to claim 1, further comprising at least one Omega 3 fatty acid.
- 41. (Withdrawn) The composition according to claim 1, further comprising at least one natural water soluble fiber.
- 42. (Original) The composition according to claim 1, further comprising at least one antioxidant or vitamin.
- 43. (Original) The composition according to claim 1, wherein the at least one blood modifier is administered to a mammal in an amount ranging from about 1 to about 1000 milligrams of blood modifier per day.
- 44. (Original) The composition according to claim 1, wherein the at least one sterol absorption inhibitor is administered to a mammal in an amount ranging from about 0.1 to about 1000 milligrams of sterol absorption inhibitor per day.
- 45. (Currently Amended) A pharmaceutical composition for the treatment er prevention of vascular conditions, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 1 and a pharmaceutically acceptable carrier.
- 46. (Withdrawn) A method of treating or preventing vascular conditions, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment:

- (a) an effective amount of at least one sterol absorption inhibitor or pharmaceutically acceptable salt or solvate thereof or prodrug of the at least one sterol absorption inhibitor or of the salt or solvate thereof; and
- (b) an effective amount of at least one blood modifier for vascular conditions which is different from the sterol absorption inhibitor.
 - 47. (Currently Amended) A therapeutic combination comprising:
 - (a) a first amount of at least one sterol absorption inhibitor or pharmaceutically acceptable salt or solvate thereof or prodrug of the at least one sterol absorption inhibitor or of the salt or solvate thereof; and
- (b) a second amount of at least one blood modifier different from the sterol absorption inhibitor, wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of vascular conditions, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.
- 48. (Withdrawn) A method of treating or preventing vascular conditions, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the therapeutic combination of claim 47.